

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
16 June 2005 (16.06.2005)

PCT

(10) International Publication Number
WO 2005/054189 A1

(51) International Patent Classification⁷: C07D 207/267, A61K 31/165, C07C 233/36, A61K 31/4015

(74) Agents: MINOJA, Fabrizio et al.; Bianchetti Bracco Minoja S.r.l., Via Plinio, 63, I-20129 Milano (IT).

(21) International Application Number:
PCT/EP2004/012834

(22) International Filing Date:
12 November 2004 (12.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03027044.1 24 November 2003 (24.11.2003) EP

(71) Applicant (for all designated States except US): NEWRON PHARMACEUTICALS S.P.A. [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): THALER, Florian [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). SABIDO DAVID, Cibele, Maria [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). FARAVELLI, Laura [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). GAGLIARDI, Stefania [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). COLOMBO, Elena [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT). SALVATI, Patricia [IT/IT]; Via L. Ariosto, 21, I-20091 Bresso (IT).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

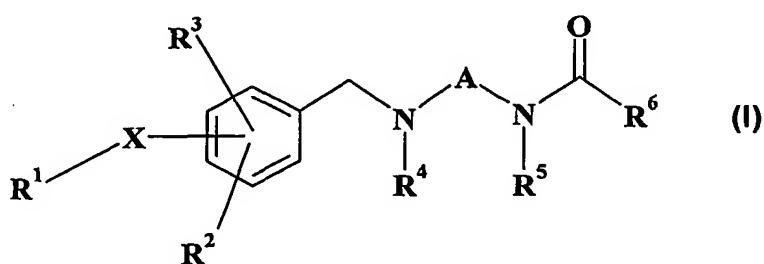
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: N-ACYL-N'-BENZYL-ALKYLENDIAMINO DERIVATIVES



C₁-C₄ alkoxy groups; R², R³ are independently hydrogen, a C₁-C₃ alkyl chain, halogen, trifluoromethyl, hydroxy or C₁-C₄ alkoxy groups; R⁴, R⁵ are independently hydrogen or C₁-C₆ alkyl; R⁶ is a hydrogen or a straight or branched C₁-C₈ alkyl or linked to R⁵ can form a five to seven membered lactam; R⁷ is hydrogen or C₁-C₆ alkyl; and the pharmaceutically acceptable salts thereof that are active as sodium and/or calcium channel modulators and therefore useful in preventing, alleviating and curing a wide range of pathologies, including, but not limited to, neurological, psychiatric, cardiovascular, inflammatory, ophthalmic, urologic, metabolic and gastrointestinal diseases, where the above mechanisms have been described as playing a pathological role.

(57) Abstract: This invention is related to compounds and use of N-Acyl-N'-benzyl-alkylenediamino derivatives of the following general formula (I), wherein A is a straight or branched C₂-C₈ alkyl chain; X is a methylene, oxygen, sulphur or a NR⁷ group; R¹ is a straight or branched C₁-C₈ alkyl or C₃-C₈ alkenylene or C₃-C₈ alkynylene chain, optionally substituted with CF₃, phenyl, phenoxy or naphthyl, the aromatic rings optionally substituted by one or more C₁-C₄ alkyl, halogens, trifluoromethyl, hydroxy or